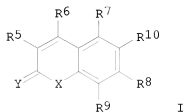


L7 ANSWER 5 OF 5 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 134:207727 CA <<LOGINID::20090722>>
 TITLE: Preparation of quinolinones and related bicyclic compounds as androgen and progesterone receptor modulators.
 INVENTOR(S): Zhi, Lin; Tegley, Christopher; Pio, Barbara; Arjan van Oeveren, Cornelis; Motamedi, Mehrnouch; Martinborough, Esther; West, Sarah; Higuchi, Robert; Hamann, Lawrence; Farmer, Luc
 PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 356 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016108	A2	20010308	WO 2000-US23585	20000825
WO 2001016108	A3	20011220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6566372	B1	20030520	US 2000-649466	20000824
CA 2384435	A1	20010308	CA 2000-2384435	20000825
BR 2000013653	A	20020514	BR 2000-13653	20000825
EP 1212303	A2	20020612	EP 2000-959507	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200508	T2	20020923	TR 2002-508	20000825
CN 1382124	A	20021127	CN 2000-814750	20000825
CN 1262540	C	20060705		
JP 2003508387	T	20030304	JP 2001-519677	20000825
HU 2002004337	A2	20030328	HU 2002-4337	20000825
HU 2002004337	A3	20030630		
AU 782647	B2	20050818	AU 2000-70819	20000825
CN 1775759	A	20060524	CN 2005-10112855	20000825
CN 100384823	C	20080430		
CN 101029042	A	20070905	CN 2006-10078531	20000825
ZA 2002001053	A	20030528	ZA 2002-1053	20020206
IN 2002MN00201	A	20051104	IN 2002-MN201	20020215
NO 2002000912	A	20020429	NO 2002-912	20020225
MX 2002002027	A	20030519	MX 2002-2027	20020226
BG 106539	A	20021031	BG 2002-106539	20020321
US 20030130505	A1	20030710	US 2002-299909	20021118
US 6964973	B2	20051115		
US 20050288350	A1	20051229	US 2005-165769	20050623
PRIORITY APPLN. INFO.:			US 1999-150987P	P 19990827
			US 2000-649466	A3 20000824

CN 2000-814750	A3 20000825
WO 2000-US23585	W 20000825
US 2002-299909	A3 20021118

OTHER SOURCE(S): MARPAT 134:207727
GI



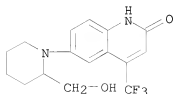
AB Title compds., e.g. [I; R1, R2 = COR3, CSR3, SO2R3, NO, NR3R4, alkyl, alkenyl, haloalkyl, haloalkenyl, haloalkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, etc.; R1R2 = atoms to form (substituted) heterocyclyl; R3, R4 = H, (substituted) alkyl, alkenyl, alkynyl, haloalkyl, heteroalkyl, heteroaryl, aryl; R5 = H, F, Cl, Br, iodo, OR3, SR3, NR3R4, alkyl, haloalkyl, heteroalkyl; R6 = F, Cl, Br, iodo, Me, CF3, CHF2, cyano, CF2Cl, CF2OR3, OR3, SOR3, CO2R3, NR3R4, (substituted) alkyl, alkenyl, alkynyl, haloalkyl, heteroalkyl, etc.; R7, R8 = H, F, Cl, Br, iodo, cyano, OR3, NR3R4, SR3, SOR3, NR3COR4, alkyl, haloalkyl, heteroalkyl, etc.; R9 = H, F, Cl, iodo, OR3, NR3R4, SR3, SOR3, SO2R3, alkyl, haloalkyl, heteroalkyl; R10 = NR1R2, (substituted) heterocyclyl; Y = O, S, NR3, NOR3, CR3R4], were prepared. Thus, 6-amino-4-trifluoromethyl-2(1H)-quinolinone (preparation given) was stirred with propionaldehyde and NaBH3CN in MeOH to give 70-95% 6-propylamino-4-trifluoromethyl-2(1H)-quinolinone. The latter showed androgen receptor agonist activity with a potency of 27 nM. A drug composition is given. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 328949-98-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinones and related bicyclic compds. as androgen and progesterone receptor modulators)

RN 328949-98-0 CA

CN 2(1H)-Quinolinone, 6-[2-(hydroxymethyl)-1-piperidinyl]-4-(trifluoromethyl)-
(CA INDEX NAME)



10/566,569

REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT